

10536730

Search



Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS

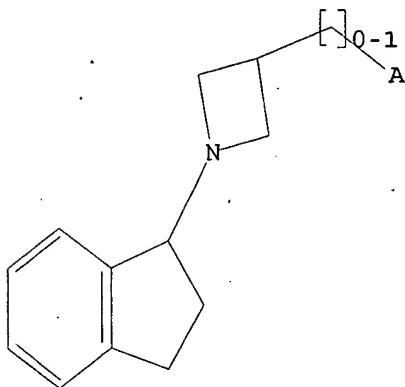
A is as defined in
claims

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:35:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 137 TO ITERATE

100.0% PROCESSED 137 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2038 TO 3442
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:35:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2767 TO ITERATE

100.0% PROCESSED 2767 ITERATIONS
SEARCH TIME: 00.00.01

15 ANSWERS

L3 15 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

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FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 10:35:51 ON 27 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 27 Oct 2006 VOL 145 ISS 18
FILE LAST UPDATED: 25 Oct 2006 (20061025/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 13

L4 1 L3

=> d ibib abs hitstr 1

10536730

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:56538 CAPLUS

DOCUMENT NUMBER: 141:123484

TITLE: Preparation of 1-(amino)indanes and (1,2-dihydro-3-amino)-benzofurans, benzothiophenes

and

indoles as EDG receptor agonists

INVENTOR(S): Doherty, George A.; Hale, Jeffrey J.; Mills, Sander G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 83 pp.

DOCUMENT TYPE: CODEN: PIXXD2

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: 1 English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058149	A2	20040715	WO 2003-US40129	20031216
WO 2004058149	A3	20040916		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SE, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,			

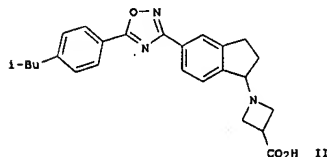
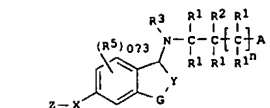
TG

CA 2509218	AA	20040715	CA 2003-2509218	20031216
AU 2003297232	A1	20040722	AU 2003-297232	20031216
EP 1581509	A2	20051005	EP 2003-814075	20031216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006511579	T2	20060406	JP 2004-563642	20031216
US 2006161005	A1	20060720	US 2005-536730	20050527
PRIORITY APPLN. INFO.:			US 2002-435381P	P 20021220
			WO 2003-US40129	W 20031216

OTHER SOURCE(S): MARPAT 141:123484

GI

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Comps. of formula I (G = C(R4)2, O, S, SO2; X = Ph, alkyl, etc.; Y = C(R4)p; Z = alkyl, heterocyclo, etc.; A = CO2H, PO3H2, SO3H, tetrazolyl, etc.; each R1 = H, halo, OH, alkyl, alkoxy; R2 = H, halo, OH, alkyl, alkoxy; R3 = H, alkyl; R2R3 = (substituted) alkylene; R4 = H, alkyl; R5 = halo, alkyl, alkoxy; n = 0-1; p = 1-3) are prepared as EDG receptor agonists. The comps. are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical comps. and methods of use are included.

Thus, II was prepared from azetidine-3-carboxylic acid and the prepared indanone derivative. The prepared comps. had > 100-fold selectivity of EDG1 over EDG3.

IT 721948-69-2P 721948-70-5P 721948-71-6P

721948-72-7P 721948-73-8P 721948-79-4P

721948-80-7P 721948-81-8P 721948-82-9P

721948-85-2P 721948-86-3P 721948-87-4P

721948-88-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

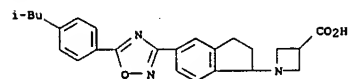
(preparation of aminoindanes as immunosuppressants)

RN 721948-69-2 CAPLUS

CN 3-Azetidinecarboxylic acid,

1-[2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 721948-70-5 CAPLUS

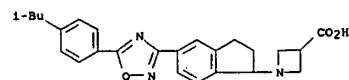
CN 3-Azetidinecarboxylic acid,

1-[2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-69-2

CMF C25 H27 N3 O3



CM 2

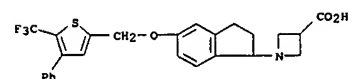
CRN 76-05-1

CMF C2 H F3 O2



RN 721948-71-6 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[4-(phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

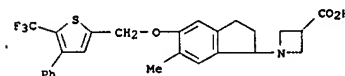


RN 721948-72-7 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-6-methyl-5-[4-(phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

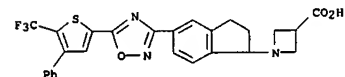
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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



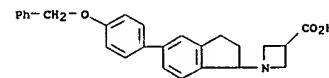
RN 721948-73-8 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[5-[4-(phenyl-5-(trifluoromethyl)-2-thienyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



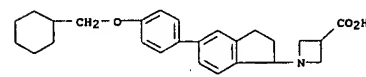
RN 721948-79-4 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[4-(phenylmethoxy)phenyl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-80-7 CAPLUS

CN 3-Azetidinecarboxylic acid, 1-[5-[4-(cyclohexylmethoxy)phenyl]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

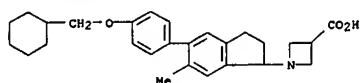


RN 721948-81-8 CAPLUS

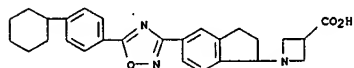
CN 3-Azetidinecarboxylic acid, 1-[5-[4-(cyclohexylmethoxy)phenyl]-2,3-dihydro-6-methyl-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

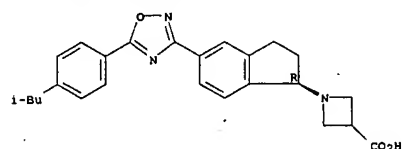


RN 721948-82-9 CAPLUS
 CN 3-Azetidinecarboxylic acid,
 1-[(1R)-2,3-dihydro-5-[5-[4-(2-
 methylpropyl)phenyl]-1,2,4-oxadiazol-3-
 yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-85-2 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-
 methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



RN 721948-86-3 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-
 methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-,
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

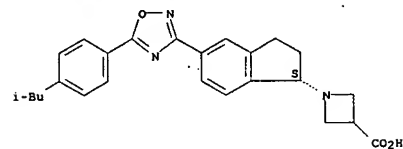
CM 1

CRN 721948-85-2
 CMF C25 H27 N3 O3

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CMF C25 H27 N3 O3

Absolute stereochemistry.



CM 2

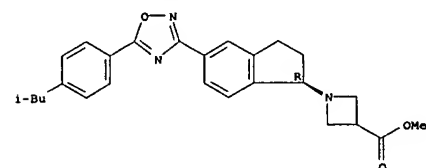
CRN 76-05-1
 CMF C2 H F3 O2



IT 721949-02-6P 721949-03-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of aminoindanes as immunosuppressants)

RN 721949-02-6 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-
 methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, methyl ester
 (9CI) (CA INDEX NAME)

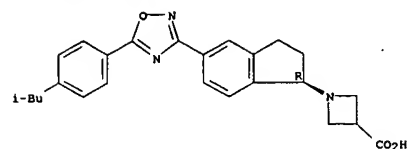
Absolute stereochemistry.



RN 721949-03-7 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-[4-(2-
 methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, methyl ester
 (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



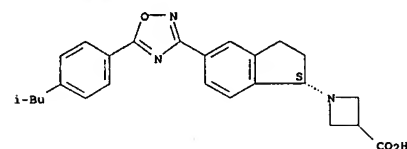
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 721948-87-4 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-[4-(2-
 methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

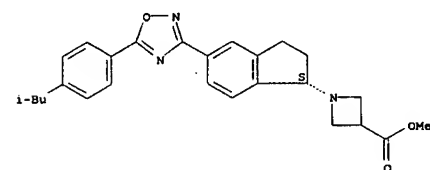


RN 721948-88-5 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-[4-(2-
 methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-,
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-87-4

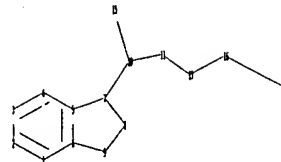
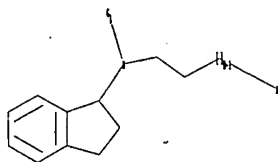
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Absolute stereochemistry.



10536730

=>

Uploading C:\Program Files\Stnexp\Queries\10536730b.str



chain nodes :
17
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
10 11 13 15 16
chain bonds :
7-10 16-17
ring/chain bonds :
10-11 10-15 11-13 13-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
7-10 10-11 10-15 11-13 13-16 16-17
exact bonds :
5-7 6-9 7-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 10 :

G1:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:CLASS 15:CLASS 16:CLASS 17:CLASS

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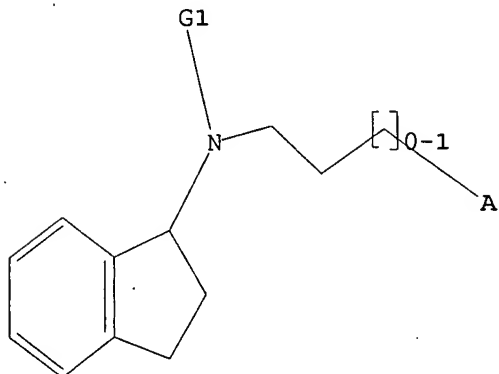
10536730

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 15 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

GENERIC GROUP NOT VALID HERE

COMMAND STACK INTERRUPTED. ENTER "DISPLAY HISTORY"
TO SEE WHICH COMMANDS WERE EXECUTED.

Generic groups may not be used in these circumstances:

1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

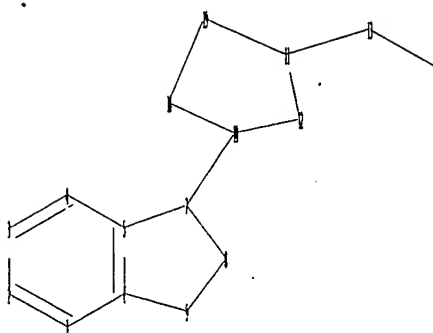
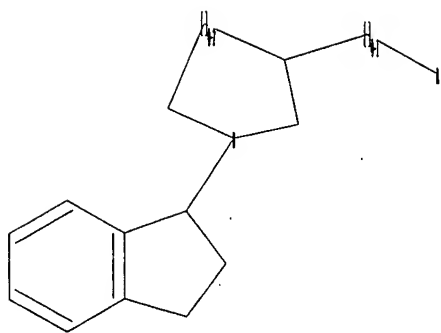
=>

=>

Uploading C:\Program Files\Stnexp\Queries\10536730c.str

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chain nodes :

13 14

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 18 19

chain bonds :

7-10 11-13 13-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-12 10-18 11-12 11-19 18-19

exact/norm bonds :

7-10 10-12 10-18 13-14

exact bonds :

5-7 6-9 7-8 8-9 11-13 11-12 11-19 18-19

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 10 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 18:CLASS 19:Atom

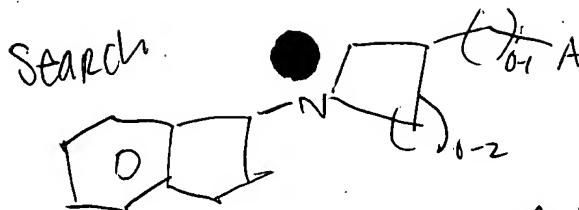
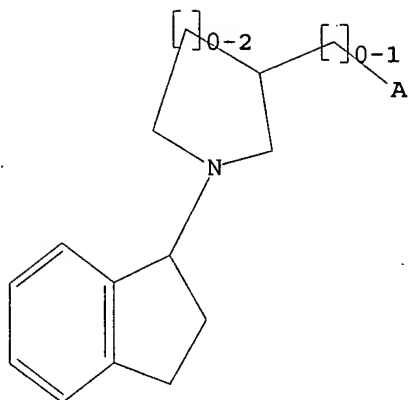
L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6 STR

10536730



A is anything

Structure attributes must be viewed using STN Express query preparation.

=> s l6 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:44:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 28703 TO ITERATE

100.0% PROCESSED 28703 ITERATIONS
SEARCH TIME: 00.00.01

139 ANSWERS

L7 139 SEA SSS FUL L6

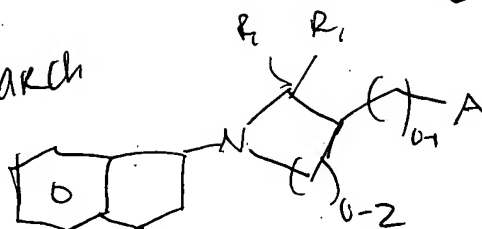
L8 28 L7

=>

Uploading C:\Program Files\Stnexp\Queries\10536730d.str

10536730

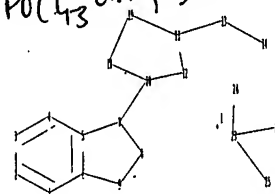
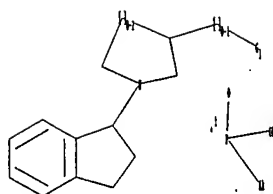
Search



do not
define R₁

A is as
defined in
elains

CO₂H, -PO₃H₂ - SO₃H,
-PO(CH₃ alkyl)OH, Met



chain nodes :

13 14 23 24 25 26

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 18 19

chain bonds :

7-10 11-13 13-14 23-24 23-25 23-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-12 10-18 11-12 11-19 18-19

exact/norm bonds :

7-10 10-12 10-18 13-14 23-24 23-25 23-26

exact bonds :

5-7 6-9 7-8 8-9 11-13 11-12 11-19 18-19

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 10 :

G1:Hy, COOH, PO₃H₂, SO₃H, [*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:CLASS 14:CLASS 18:CLASS 19:Atom 23:CLASS 24:CLASS

25:CLASS 26:CLASS

L9 STRUCTURE UPLOADED

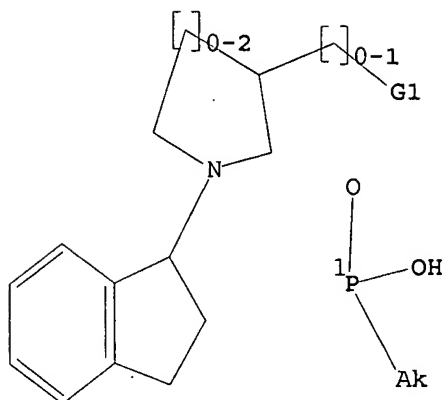
=> d 19

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L9 HAS NO ANSWERS

L9 STR



G1 Hy,COOH,PO3H2,SO3H,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s 19 full sub=17

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

search current previous structure in the hits of previous search

FULL SUBSET SEARCH INITIATED 10:48:09 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 139 TO ITERATE

100.0% PROCESSED 139 ITERATIONS
SEARCH TIME: 00.00.01

20 ANSWERS

L10 20 SEA SUB=L7 SSS FUL L9

SUBSET IS IGNORED AS A SCOPE FOR THIS SEARCH
L11 3 L10

=> d ibib abs hitstr 1-3

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L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:566610 CAPLUS

DOCUMENT NUMBER: 141:123578

TITLE:

Preparation of 4-(spiropiperidinyl)methylpyrrolidines
as modulators of chemokine receptor activity
 Bao, Jianming; Beresio, Richard; Berger, Richard;
 Colletti, Steven L.; Miao, Shouwu; Parsons, William
 H.; Rupprecht, Kathleen M.; Johanson, Jill N.;

INVENTOR(S):

Kayser,

Frank, Kovacs, Ernest W.

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

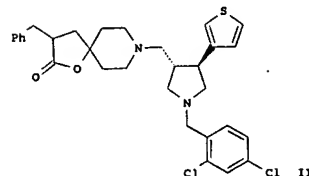
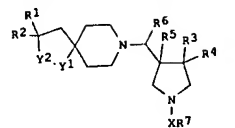
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058763	A1	20040715	WO 2003-US40491	20031219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SN, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,			

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2003301095	A1	20040722	AU 2003-301095	20031219
PRIORITY APPL. INFO.:			US 2002-436127P	P 20021223
			WO 2003-US40491	W 20031219

OTHER SOURCE(S): MARPAT 141:123578
 GI

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [X = (CH₂)₁₋₃, CO, CHR; R = alkyl; one of Y₁ and Y₂ = O, the other = (un)substituted CH₂; R₁ = H, (un)substituted alkyl, alkenyl, aralkyl, acyl, CO₂H; R₂ = H, alkyl, alkenyl, CH₂Ph; R₁R₂ = (un)substituted CH₂, CH₂CH₂OCH₂CH₂, CH₂CHMeOCHMeCH₂; R₃ = (un)substituted Ph, heterocyclyl, alkyl, alkenyl, alkynyl, cycloalkyl, CO₂H, CONH₂, CN, acyl, acyloxy, carbamoyloxy; R₄-R₆ = H, alkyl; R₇ = (un)substituted aryl, heterocyclyl; XR₇ = substituted indenyl] were prepared for use as modulators of the chemokine receptors CCR-3 and/or CCR-5 (no data). Thus, tert.-Bu 3-benzyl-2-oxo-1-oxa-8-azaspiro[4.5]decane-8-carboxylate was prepared from tert.-Bu 4-oxopiperidine-1-carboxylate by methylation, ring enlargement with CH₂(CO₂Et)₂, and benzylation and was treated with (3R,4S)-1-(2,4-dichlorobenzyl)-4-thien-3-ylpyrrolidine-3-carboxaldehyde to give the title compound II.

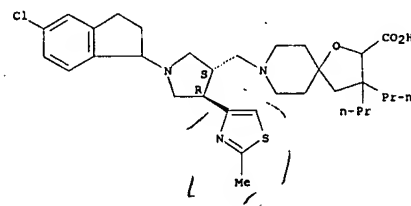
IT 723288-67-3P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-(spiropiperidinyl)methylpyrrolidines as modulators of chemokine receptor activity)

RN 723288-67-3 CAPLUS
 CN 1-Oxa-8-azaspiro[4.5]decane-2-carboxylic acid,
 8-[(1S,4R)-1-(5-chloro-2,3-

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinylmethyl]-3,3-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:566538 CAPLUS

DOCUMENT NUMBER: 141:123484

TITLE:

Preparation of 1-(amino)indanes and
 (1,2-dihydro-3-amino)-benzofurans, benzothiophenes

and

indoles as EDG receptor agonists

INVENTOR(S):

Doherty, George A.; Hale, Jeffrey J.; Mills, Sander G.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

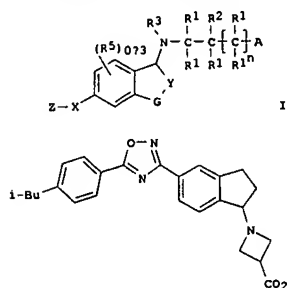
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058149	A2	20040715	WO 2003-US40129	20031216
WO 2004058149	A3	20040916		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SN, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,			
TG	CA 2509218	AA	20040715	CA 2003-2509218
	AU 2003297232	A1	20040722	AU 2003-297232
	EP 1581509	A2	20051005	EP 2003-814075
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
	JP 2006511579	T2	20060406	JP 2004-563642
	US 2006161005	A1	20060720	US 2005-536730
PRIORITY APPL. INFO.:			US 2002-435381P	P 20021220
			WO 2003-US40129	W 20031216

OTHER SOURCE(S): MARPAT 141:123484
 GI

10536730

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Comps. of formula I [G = C(R4)2, O, S, SO, SO2; X = Ph, alkyl, etc.; Y = C(R4)p; Z = alkyl, heterocyclo, etc.; A = CO2H, PO3H2, SO3H, tetrazolyl,

etc.; each R1 = H, halo, OH, alkyl, alkoxy; R2 = H, halo, OH, alkyl, alkoxy; R3 = H, alkyl; R2R3 = (substituted) alkylene; R4 = H, alkyl; R5 = halo, alkyl, alkoxy; n = 0-1; p = 1-3] are prepared as EDG receptor agonists. The comps. are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical comps. and methods of use are included.

Thus, II was prepared from azetidine-3-carboxylic acid and the prepared indanone derivative. The prepared comps. had > 100-fold selectivity of EDG1 over EDG3.

IT 721948-69-2P 721948-70-5P 721948-71-6P
721948-72-7P 721948-73-8P 721948-77-2P
721948-78-3P 721948-79-4P 721948-80-7P
721948-81-8P 721948-82-9P 721948-83-0P
721948-85-2P 721948-86-3P 721948-87-4P
721948-88-5P

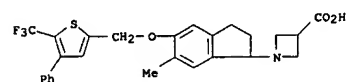
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoindanes as immunosuppressants)

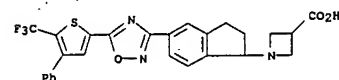
RN 721948-69-2 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 721948-72-7 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-6-methyl-5-[[4-(phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

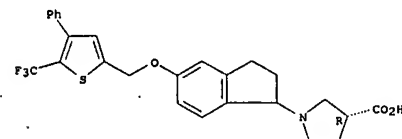


RN 721948-73-8 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[[4-(phenyl-5-(trifluoromethyl)-2-thienyl)-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-77-2 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 1-[2,3-dihydro-5-[[4-(phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]-, (3R)- (9CI) (CA INDEX NAME)

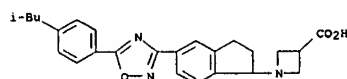
Absolute stereochemistry.



RN 721948-78-3 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 1-[2,3-dihydro-5-[[4-(phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

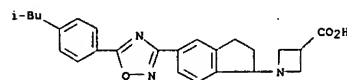
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 721948-70-5 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-69-2
CMF C25 H27 N3 O3

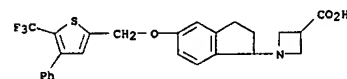


CM 2

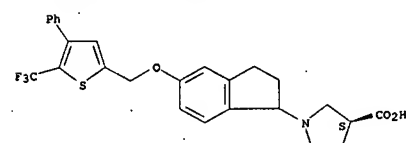
CRN 76-05-1
CMF C2 H F3 O2



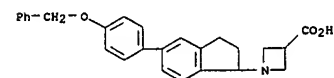
RN 721948-71-6 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[[4-(phenyl-5-(trifluoromethyl)-2-thienyl)methoxy]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



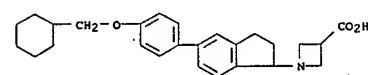
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



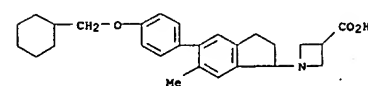
RN 721948-79-4 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[2,3-dihydro-5-[[4-(phenylmethoxy)phenyl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-80-7 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[5-[[4-(cyclohexylmethoxy)phenyl]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



RN 721948-81-8 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[5-[[4-(cyclohexylmethoxy)phenyl]-2,3-dihydro-6-methyl-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

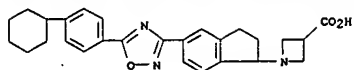


RN 721948-82-9 CAPLUS
CN 3-Azetidinecarboxylic acid, 1-[5-[[4-(cyclohexylmethoxy)phenyl]-2,3-dihydro-6-methyl-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Karen Cheng

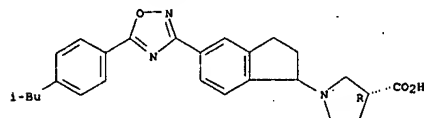
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L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



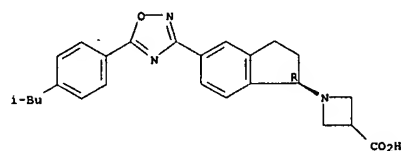
RN 721948-83-0 CAPLUS
 CN 3-Pyrrolidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 721948-85-2 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 721948-86-3 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1R)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

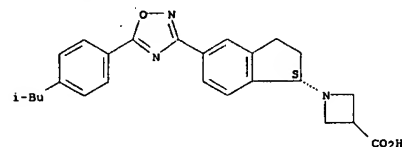
CM 1

CRN 721948-85-2
 CMF C25 H27 N3 O3

Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CMF C25 H27 N3 O3

Absolute stereochemistry.

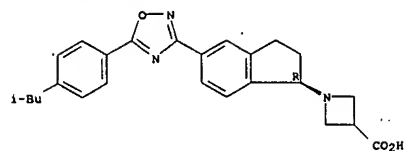


CM 2

CRN 76-05-1
 CMF C2 H F3 O2



L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



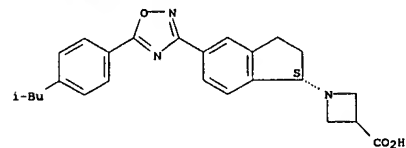
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 721948-87-4 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 721948-88-5 CAPLUS
 CN 3-Azetidinecarboxylic acid, 1-[(1S)-2,3-dihydro-5-[5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazol-3-yl]-1H-inden-1-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 721948-87-4

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:565202 CAPLUS
 DOCUMENT NUMBER: 141:123554
 TITLE: Preparation of 4-[(4-(carboxyethyl)piperidinyl)methyl]pyrrolidines as modulators of chemokine receptor activity
 INVENTOR(S): Beresis, Richard; Berger, Richard; Colletti, Steven L.; Parsons, William H.; Rupprecht, Kathleen M.; Johanson, Jill N.; Kayser, Frank; Kovacs, Ernest W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 58 pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

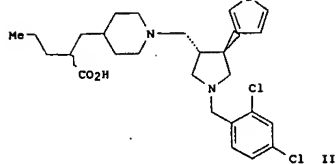
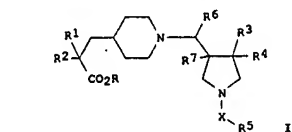
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058702	A2	20040715	WO 2003-US40785	20031219
WO 2004058702	A3	20040826		
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RW: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG AU 2003301197	A1	20040722	AU 2003-301197	20031219
PRIORITY APPLN. INFO.:			US 2002-436052P	P 20021223
			WO 2003-US40785	W 20031219

OTHER SOURCE(S): MARPAT 141:123554
 GI

Karen Cheng

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L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Carboxypiperidinylmethyl pyrrolidines of formula I [R = H, alkyl; R1 = H, alkyl, carboxyalkyl, CONH2, etc.; R2 = H, alkyl, alkenyl, benzyl; R1R2 = (CH2)2-5; R3 = Ph, heterocyclyl, alkyl, cycloalkyl, etc.; R4, R6, R7 = H, alkyl; R5 = Ph, naphthyl, indanyl, heterocyclyl, etc.; X = (CH2)1-3, CO, CH-alkyl] are prepared for use as modulators of chemokine receptor activity.

In particular, these compds. are useful as modulators of the chemokine receptors CCR-3 and/or CCR-5. Thus, II was prepared from Me 2-(piperidin-4-ylmethyl)pentanoate (preparation given) and (3R,4S)-1-(2,4-dichlorobenzyl)-4-thien-3-ylpyrrolidine-3-carboxaldehyde.

IT 721454-65-5P 721454-66-6P 721455-00-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxyethylpiperidinylmethyl pyrrolidines as modulators of chemokine receptor activity)

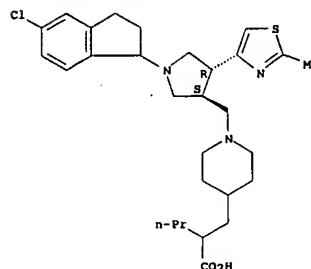
RN 721454-65-5 CAPLUS

CN 4-Piperidinepropanoic acid,

1-[[[(3R,4S)-1-(5-chloro-2,3-dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinyl]methyl]-α-propyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

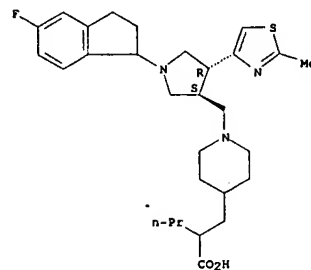


RN 721454-66-6 CAPLUS

CN 4-Piperidinepropanoic acid,

1-[[[(3R,4S)-1-(5-fluoro-2,3-dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinyl]methyl]-α-propyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 721455-00-1 CAPLUS

CN 4-Piperidinepropanoic acid,

1-[[[(3S,4R)-1-(5-chloro-2,3-dihydro-1H-inden-1-yl)-4-(2-methyl-4-thiazolyl)-3-pyrrolidinyl]methyl]-α-propyl-, rel- (9CI) (CA INDEX NAME)

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

